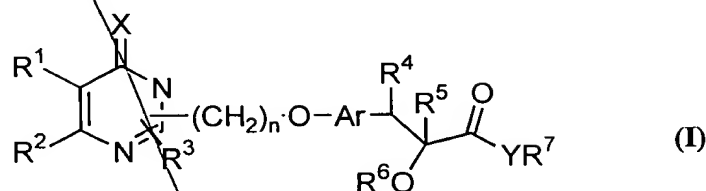


CLAIMS

1. A compound of formula (I)



- its derivatives, its analogs, its tautomeric forms, its stereoisomers, its polymorphs, its pharmaceutically acceptable salts, and its pharmaceutically acceptable solvates, wherein X represents O or S; the groups R<sup>1</sup>, R<sup>2</sup> and group R<sup>3</sup> when present on carbon atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; or R<sup>1</sup>, R<sup>2</sup> along with the adjacent atoms to which they are attached may also form a 5-6 membered substituted or unsubstituted cyclic structure containing carbon atoms with one or more double bonds, which may optionally contain one or more heteroatoms selected from oxygen, nitrogen and sulfur; R<sup>3</sup> when attached to nitrogen atom represents hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid derivatives; the linking group represented by -(CH<sub>2</sub>)<sub>n</sub>-O- may be attached either through nitrogen atom or through carbon atom where n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent single or fused aromatic or heterocyclic group; R<sup>4</sup> represents

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hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, unsubstituted or substituted aralkyl group or forms a bond together with the adjacent group  $R^5$ ;  $R^5$  represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl group, acyl, unsubstituted or substituted aralkyl or  $R^5$  forms a bond together with  $R^4$ ;  $R^6$  represents hydrogen, an unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxy carbonyl, aryloxy carbonyl, alkylaminocarbonyl, arylamino carbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups, with a provision that  $R^6$  does not represent hydrogen when  $R^7$  represents hydrogen or lower alkyl group;  $R^7$  represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups and Y represents oxygen or  $NR^8$ , where  $R^8$  represents hydrogen, alkyl, aryl, hydroxyalkyl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; or  $R^7$  and  $R^8$  together may form a 5 or 6 membered cyclic structure containing carbon atoms, which may optionally contain one or more heteroatoms selected from oxygen, sulfur or nitrogen.

2. A compound of formula (I) according to claim 1, wherein the groups represented by  $R^1$ ,  $R^2$  and the group  $R^3$  when attached to carbon atom are substituted, the substituents are selected from halogen, hydroxy, or nitro or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, aralkoxyalkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, arylamino, aminoalkyl, aryloxy, aralkoxy, alkoxy carbonyl, alkylamino, alkoxyalkyl, alkylthio, thioalkyl groups, carboxylic acid or its derivatives, or sulfonic acid or its derivatives.

3. A compound of formula (I) according to claim 1 or 2, wherein substituents on the group  $R^3$  when attached to nitrogen are selected from halogen, hydroxy, acyl, acyloxy, or amino groups.

4. A compound of formula (I) according to claim 1, 2 or 3, wherein Ar represents unsubstituted or substituted divalent phenylene, naphthylene, pyridyl, quinoliny, benzofuryl, dihydrobenzofuryl, benzopyranyl, indolyl, indoliny, azaindolyl, azaindoliny, pyrazolyl, benzothiazolyl, or benzoxazolyl.

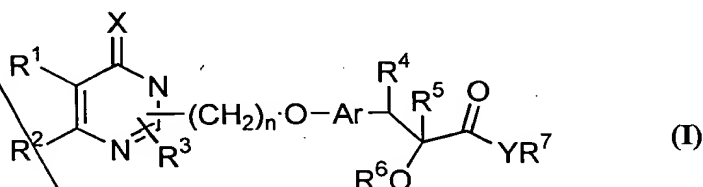
5. A compound of formula (I) according to claims 1, 2, 3 or 4, wherein substituents on the group represented by  $R^6$  are selected from halogen, hydroxy, or nitro or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy,

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cycloalkoxy, aryl, aralkyl, aralkoxyalkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, arylamino, aminoalkyl, aryloxy, alkoxycarbonyl, alkylamino, alkoxyalkyl, alkylthio, thioalkyl groups, carboxylic acid or its derivatives, or sulfonic acid or its derivatives.

6. A process for the preparation of compound of formula (I)



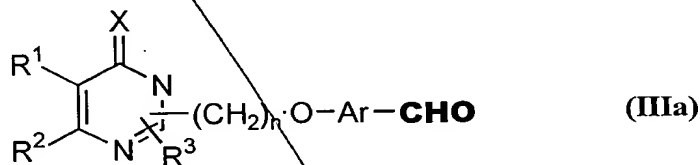
where X represents O or S; the groups R<sup>1</sup>, R<sup>2</sup> and group R<sup>3</sup> when present on carbon atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; or R<sup>1</sup>, R<sup>2</sup> along with the adjacent atoms to which they are attached may also form a 5-6 membered substituted or unsubstituted cyclic structure containing carbon atoms with one or more double bonds, which may optionally contain one or more heteroatoms selected from oxygen, nitrogen and sulfur; R<sup>3</sup> when attached to nitrogen atom represents hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid derivatives; the linking group represented by -(CH<sub>2</sub>)<sub>n</sub>-O- may be attached either through nitrogen atom or through carbon atom where n is an integer ranging from 1 - 4; Ar represents an unsubstituted

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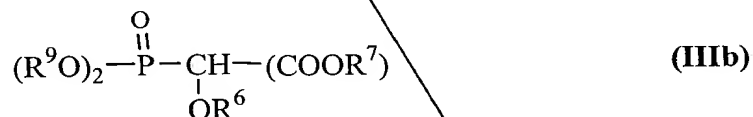
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or substituted divalent single or fused aromatic or heterocyclic group;  $R^4$  and  $R^5$  together represent a bond;  $R^6$  represents hydrogen, or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxyacetyl, aryloxyacetyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, hetero-  
 5 aryl, or heteroaralkyl groups, with a provision that  $R^6$  does not represent hydrogen when  $R^7$  represents hydrogen or lower alkyl group;  $R^7$  represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups and Y represents oxygen atom, which comprises:

- 10 a) reacting a compound of formula (IIIa)

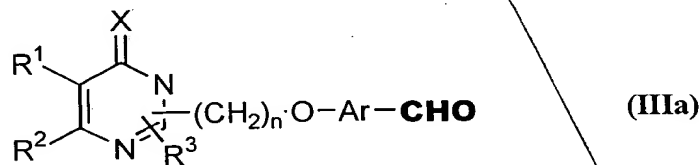


where all symbols are as defined above with a compound of formula (IIIb)



- 15 where  $R^6$ ,  $R^7$  are as defined above excluding hydrogen and  $R^9$  represents  $(\text{C}_1 - \text{C}_6)$ alkyl, to yield compound of formula (I) defined above;

- b) reacting the compound of formula (IIIa)



where all symbols are as defined earlier with Wittig reagents;

- c) reacting a compound of formula (IIIc)

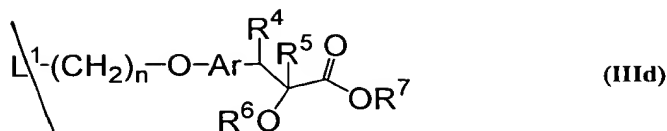


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where all symbols are as defined above with a compound of formula (IIId)

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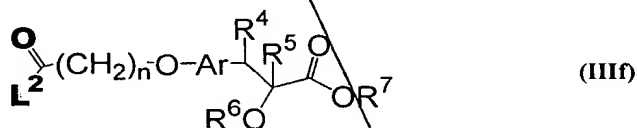


where  $R^4, R^5$  together represent a bond, and all other symbols are as defined above and  $L^1$  is a leaving group to produce a compound of formula (I) defined above, where the linker group  $-(CH_2)_n-O-$  is attached to nitrogen atom ;

- 5 d) reacting a compound of formula (IIIe)

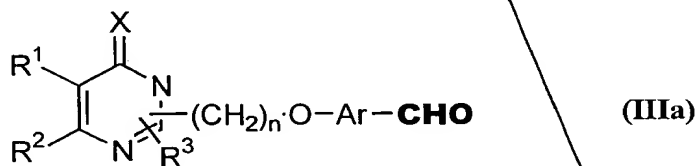


where all symbols are as defined above with a compound of formula (IIIf)

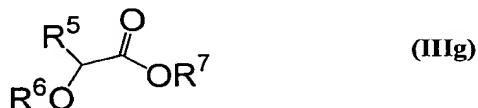


- 10 where  $R^4, R^5$  together represent a bond,  $L^2$  is a leaving group and other symbols are as defined above, to produce a compound of formula (I) defined above , where the linker group  $-(CH_2)_n-O-$  is attached to carbon atom;

- e) reacting a compound of formula (IIIa)



where all other symbols are as defined above with a compound of formula (IIIg)



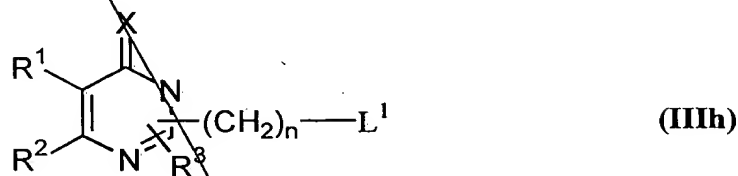
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where  $R^5$  is hydrogen and all other symbols are as defined above to yield a compound of formula (I) as defined above after dehydration;

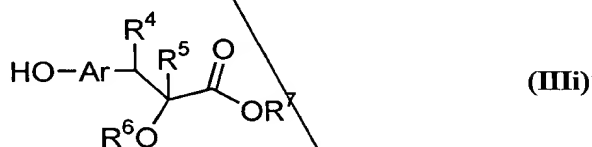
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f) reacting a compound of formula (IIIh)

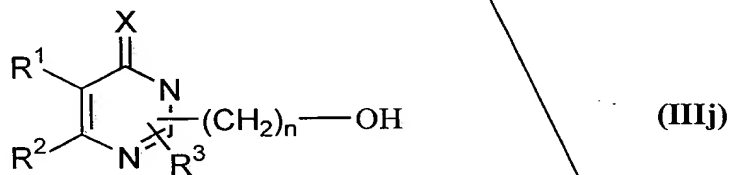


where all symbols are as defined earlier and  $\text{L}^1$  represents a leaving group, with compound of formula (IIIi)

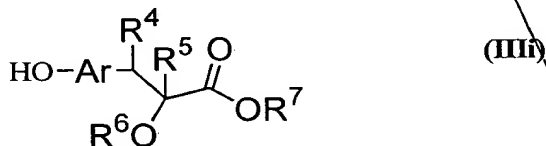


where  $\text{R}^4$  and  $\text{R}^5$  together represent a bond and all other symbols are as defined above to produce a compound of the formula (I) defined above;

g) reacting a compound of formula (IIIj)

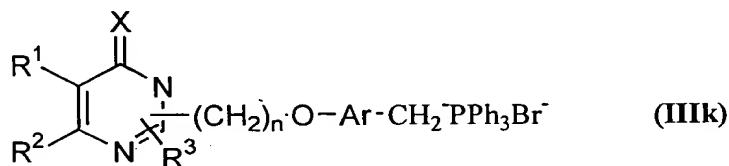


where all symbols are as defined above with a compound of general formula (IIIi)



where  $\text{R}^4$  and  $\text{R}^5$  together represent a bond and all other symbols are as defined above to produce a compound of formula (I) defined above;

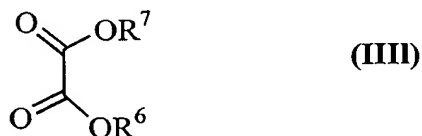
h) reacting a compound of formula (IIIk)



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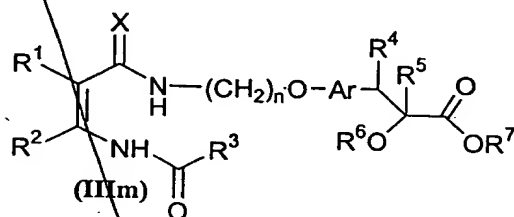
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where all symbols are as defined above with a compound of formula (III)



where  $\text{R}^6 = \text{R}^7$  and are as defined above excluding hydrogen to produce a compound of the formula (I);

- 5            i)        cyclising a compound of formula (III<sub>m</sub>)

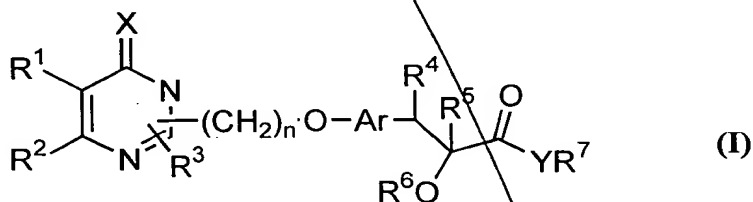


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where  $\text{R}^4$  and  $\text{R}^5$  together represent a bond,  $\text{R}^7$  is as defined above excluding hydrogen and all other symbols are as defined above to produce a compound of formula (I) defined above where the linking group  $-(\text{CH}_2)_n-\text{O}-$  is attached to nitrogen atom and if desired;

- 15            j)        converting the compounds of formula (I) obtained in any of the processes described above into pharmaceutically acceptable salts or pharmaceutically acceptable solvates.

7.        A process for the preparation of compound of formula (I)



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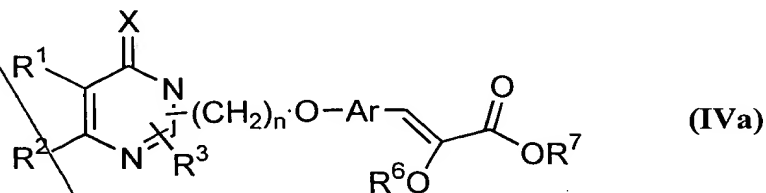
where X represents O or S; the groups R<sup>1</sup>, R<sup>2</sup> and the group R<sup>3</sup> when present on carbon atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; or R<sup>1</sup>, R<sup>2</sup> along with the adjacent atoms to which they are attached may also form a 5-6 membered substituted or unsubstituted cyclic structure containing carbon atoms with one or more double bonds, which may optionally contain one or more heteroatoms selected from oxygen, nitrogen and sulfur; R<sup>3</sup> when attached to nitrogen atom represents hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid derivatives; the linking group represented by -(CH<sub>2</sub>)<sub>n</sub>-O- may be attached either through nitrogen atom or through carbon atom where n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent single or fused aromatic or heterocyclic group; R<sup>4</sup> represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, unsubstituted or substituted aralkyl group; R<sup>5</sup> represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl group, acyl, unsubstituted or substituted aralkyl group; R<sup>6</sup> represents hydrogen, or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups, with a provision that R<sup>6</sup> does not represent hydrogen when R<sup>7</sup> represents hydrogen or lower alkyl group; R<sup>7</sup> represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl,

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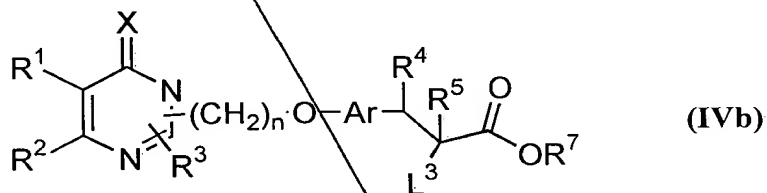
heterocyclyl, heteroaryl, or heteroaralkyl groups and Y represents oxygen atom, which comprises:

- a) reducing a compound of formula (IVa)



- 5 where all symbols are as defined earlier, the compound of formula (IVa) represents a compound of formula (I) where R<sup>4</sup> and R<sup>5</sup> together represent a bond and Y represent oxygen atom and all other symbols are as defined above, to yield a compound of the formula (I) where R<sup>4</sup> and R<sup>5</sup> each represent hydrogen atom and all symbols are as defined above;

- 10 b) reacting a compound of formula (IVb)

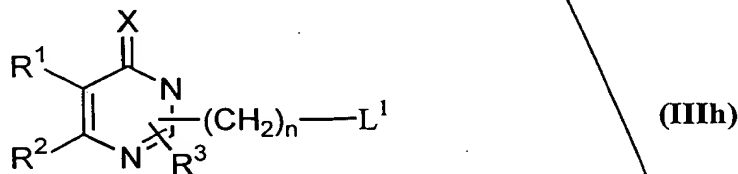


where all symbols are as defined above, R<sup>7</sup> is as defined above excluding hydrogen and L<sup>3</sup> is a leaving group with an alcohol of formula (IVc),



- 15 where R<sup>6</sup> represents unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylamino-carbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups to produce a compound of the formula (I) defined above;

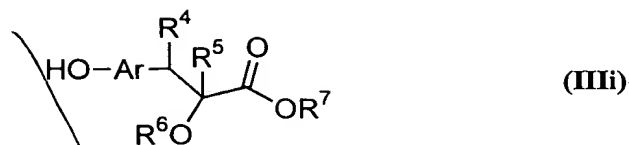
- c) reacting a compound of formula (IIIh)



20 where L<sup>1</sup> is a leaving group and all other symbols are as defined above with a compound of formula (IIIi)

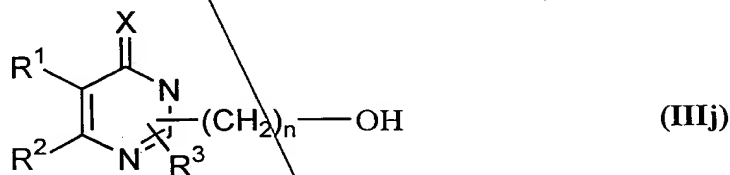
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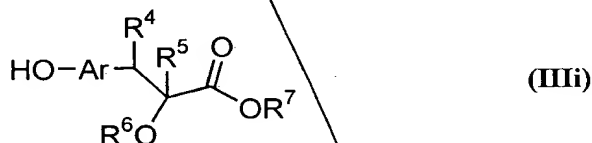


where all symbols are as defined earlier to produce a compound of the formula (I) defined above;

d) reacting a compound of formula (IIIj)

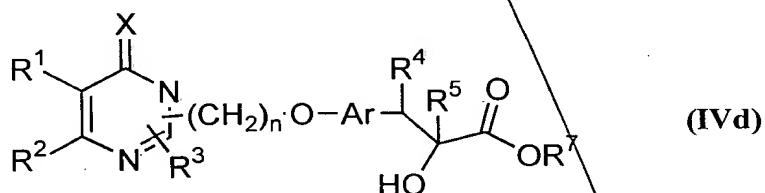


where all symbols are as defined above with a compound of formula (IIIi)



where all symbols are as defined earlier to produce a compound of the formula (I) defined above;

e) reacting a compound of formula (IVd)



which represents a compound of formula (I) where  $\text{R}^6$  represents hydrogen atom and all other symbols are as defined above with a compound of formula (IVe)

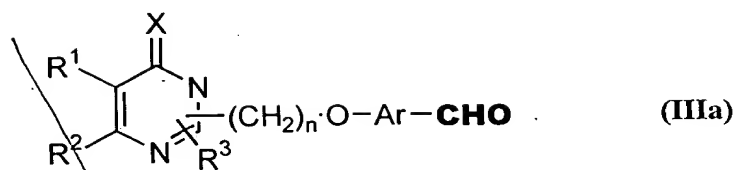


where  $\text{R}^6$  represents unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylamino-carbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups and  $\text{L}^3$  is a leaving group to produce a compound of formula (I) defined above;

f) reacting a compound of the formula (IIIa)

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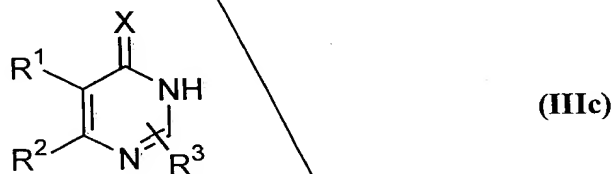


where all symbols are as defined above with a compound of formula (IIIg)

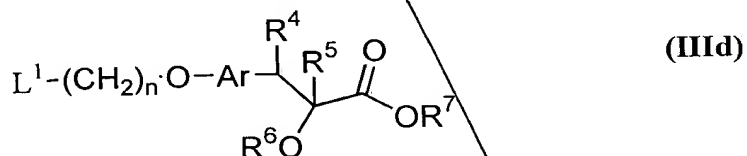


where R<sup>5</sup> is hydrogen and all other symbols are as defined above to yield a compound of formula (I) as defined above after dehydroxylation;

g) reacting a compound of formula (IIIc)



where all symbols are as defined above with a compound of formula (IIIId)

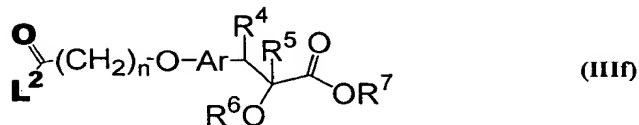


10 where L<sup>1</sup> is a leaving group and all other symbols are as defined above to produce a compound of formula (I) defined above, where the linker group  $-(\text{CH}_2)_n\text{O}-$  is attached to nitrogen atom;

h) reacting a compound of formula (IIIe)

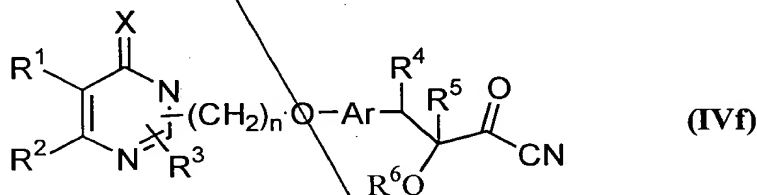


15 where all symbols are as defined above with a compound of formula (IIIIf)



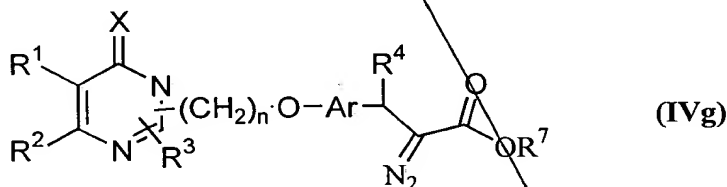
where all symbols are as defined above, and  $\text{L}^2$  is a leaving group to produce a compound of formula (I) defined above, where the linker group  $-(\text{CH}_2)_n-\text{O}-$  is attached to carbon atom;

- 5 i) converting a compound of formula (IVf)



where all symbols are as defined above to a compound of formula (I) defined above;

- j) reacting a compound of formula (IVg)



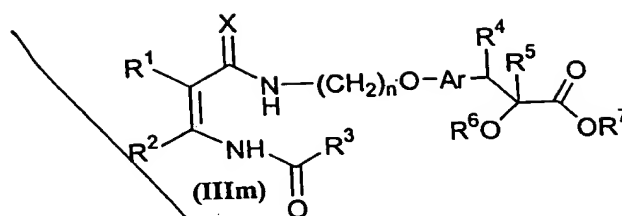
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where  $\text{R}^7$  is as defined above excluding hydrogen and all other symbols are as defined above with a compound of formula (IVc)



where  $\text{R}^6$  represents unsubstituted or substituted groups selected from alkyl, cyclo-alkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylamino-carbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups to produce a compound of formula (I);

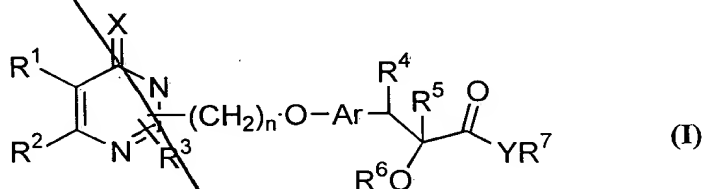
- k) cyclising a compound of formula (IIIh)



where  $R^7$  is as defined above excluding hydrogen and all other symbols are as defined above to produce a compound of formula (I) defined above where the linking group -  $(CH_2)_n-O-$  is attached to nitrogen atom and if desired;

l) converting the compounds of formula (I) obtained in any of the processes described above into pharmaceutically acceptable salts or pharmaceutically acceptable solvates.

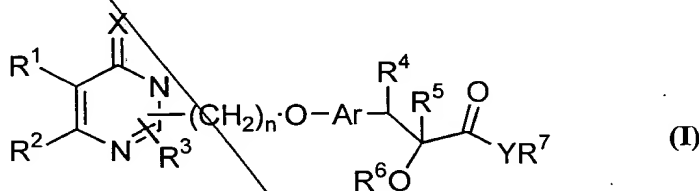
8. A process for the preparation of compound of formula (I)



Sub 107 where X represents O or S; the groups  $R^1$ ,  $R^2$  and group  $R^3$  when present on carbon atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; or  $R^1$ ,  $R^2$  along with the adjacent atoms to which they are attached may also form a 5-6 membered substituted or unsubstituted cyclic structure containing carbon atoms with one or more double bonds, which may optionally contain one or more heteroatoms selected from oxygen, nitrogen and sulfur;  $R^3$  when attached to nitrogen atom represents hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyl-

oxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxy-carbonyl, aryloxy-carbonyl, aralkoxy-carbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxy-alkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid derivatives; the linking group represented by  $-(CH_2)_n-O-$  may be attached either through nitrogen atom or through carbon atom where n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent single or fused aromatic or heterocyclic group;  $R^4$  represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, unsubstituted or substituted aralkyl group or forms a bond together with the adjacent group  $R^5$ ;  $R^5$  represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl group, acyl, unsubstituted or substituted aralkyl or  $R^5$  forms a bond together with  $R^4$ ;  $R^6$  represents unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxy-carbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups,  $R^7$  represents hydrogen and Y represents oxygen atom, which comprises: hydrolising a compound of formula (I) described in any of the claims 6 and 7, where  $R^7$  represents unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups and all other symbols are as defined earlier.

9. A process for the preparation of compound of formula (I)

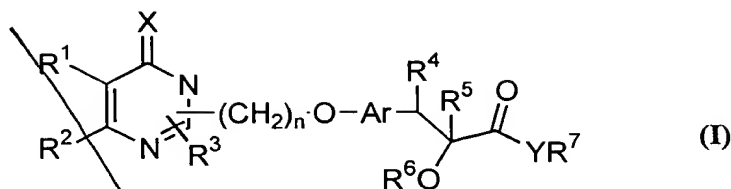


where X represents O or S; the groups  $R^1$ ,  $R^2$  and group  $R^3$  when present on carbon atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxy-carbonyl, aralkoxy-carbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkyl-thio, thioalkyl, alkoxycarbonylamino, aryloxy-carbonylamino, aralkoxy-carbonylamino,

C2  
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carboxylic acid or its derivatives, or sulfonic acid or its derivatives; or  $R^1$ ,  $R^2$  along with the adjacent atoms to which they are attached may also form a 5-6 membered substituted or unsubstituted cyclic structure containing carbon atoms with one or more double bonds, which may optionally contain one or more heteroatoms selected from oxygen, nitrogen and sulfur;  $R^3$  when attached to nitrogen atom represents hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxy-carbonyl, aryloxy-carbonyl, aralkoxy-carbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxy-alkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid derivatives; the linking group represented by  $-(CH_2)_n-O-$  may be attached either through nitrogen atom or through carbon atom where  $n$  is an integer ranging from 1 – 4; Ar represents an unsubstituted or substituted divalent single or fused aromatic or heterocyclic group;  $R^4$  represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, unsubstituted or substituted aralkyl group or forms a bond together with the adjacent group  $R^5$ ;  $R^5$  represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl group, acyl, unsubstituted or substituted aralkyl or  $R^5$  forms a bond together with  $R^4$ ;  $R^6$  represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxy-carbonyl, alkylamino-carbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, heteroaralkyl groups, with a provision that  $R^6$  does not represent hydrogen when  $R^7$  represents hydrogen or lower alkyl group;  $R^7$  represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups and Y represents  $NR^8$ , where  $R^8$  represents hydrogen, or unsubstituted or substituted alkyl, aryl, hydroxyalkyl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; or  $R^7$  and  $R^8$  together may form a 5 or 6 membered cyclic structure containing carbon atoms, which may optionally contain one or more heteroatoms selected from oxygen, sulfur or nitrogen, which comprises:

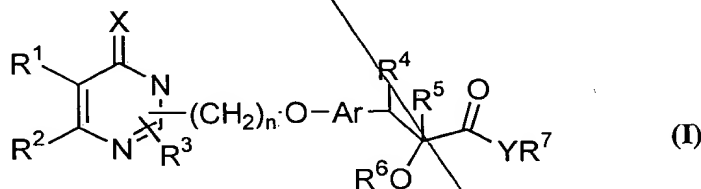
- a) reacting a compound of formula (I)



where all symbols are as defined above and Y represents oxygen and R<sup>7</sup> represents hydrogen or a lower alkyl group or YR<sup>7</sup> represents a halogen atom, or COYR<sup>7</sup> represents a mixed anhydride group with appropriate amines of the formula NHR<sup>7</sup>R<sup>8</sup>, where R<sup>7</sup> and R<sup>8</sup> are as defined earlier and if desired;

b) converting the compounds of formula (I) obtained above into pharmaceutically acceptable salts or pharmaceutically acceptable solvates.

10. A compound of formula (I)

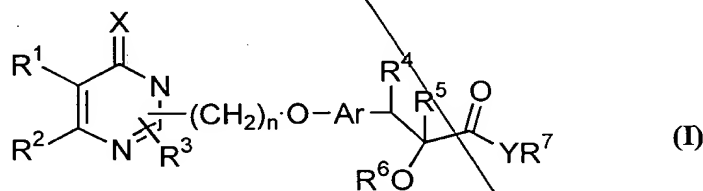


10 where X represents O or S; the groups R<sup>1</sup>, R<sup>2</sup> and group R<sup>3</sup> when present on carbon atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, 15 monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxy, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxy- 20 substituted or unsubstituted cyclic structure containing carbon atoms with one or more double bonds, which may optionally contain one or more heteroatoms selected from oxygen, nitrogen and sulfur; R<sup>3</sup> when attached to nitrogen atom represents hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyl- 25 oxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxy-



carbonyl, aryloxy-carbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxy-  
alkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid  
derivatives; the linking group represented by  $-(CH_2)_n-O-$  may be attached either  
through nitrogen atom or through carbon atom where n is an integer ranging from 1 -  
4; Ar represents an unsubstituted or substituted divalent single or fused aromatic or  
heterocyclic group;  $R^4$  and  $R^5$  together represent a bond;  $R^6$  represents hydrogen, or  
unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl,  
alkoxyalkyl, alkoxycarbonyl, aryloxy-carbonyl, alkylaminocarbonyl, arylamino-  
carbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups, with a provision that  
 $R^6$  does not represent hydrogen when  $R^7$  represents hydrogen or lower alkyl group;  $R^7$   
represents hydrogen or unsubstituted or substituted groups selected from alkyl,  
cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl groups and Y  
represents oxygen atom, prepared according to the process of claim 6.

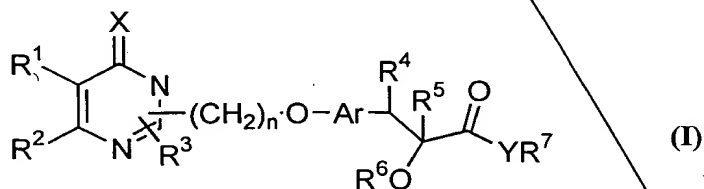
11. A compound of formula (I)



where X represents O or S; the groups  $R^1$ ,  $R^2$  and group  $R^3$  when present on carbon  
atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro,  
cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl,  
alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, hetero-  
aralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino,  
monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl,  
aryloxy-carbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkyl-  
thio, thioalkyl, alkoxycarbonylamino, aryloxy-carbonylamino, aralkoxycarbonylamino,  
carboxylic acid or its derivatives, or sulfonic acid or its derivatives; or  $R^1$ ,  $R^2$  along  
with the adjacent atoms to which they are attached may also form a 5-6 membered  
substituted or unsubstituted cyclic structure containing carbon atoms with one or more  
double bonds, which may optionally contain one or more heteroatoms selected from

oxygen, nitrogen and sulfur;  $R^3$  when attached to nitrogen atom represents hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxy-carbonyl, aryloxy-carbonyl, aralkoxy-carbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxy-alkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid derivatives; the linking group represented by  $-(CH_2)_n-O-$  may be attached either through nitrogen atom or through carbon atom where  $n$  is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent single or fused aromatic or heterocyclic group;  $R^4$  represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, or unsubstituted or substituted aralkyl group;  $R^5$  represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl group, acyl, or unsubstituted or substituted aralkyl;  $R^6$  represents hydrogen, or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxy-carbonyl, alkylamino-carbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups, with a provision that  $R^6$  does not represent hydrogen when  $R^7$  represents hydrogen or lower alkyl group;  $R^7$  represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl groups and Y represents oxygen atom, prepared according to the process of claim 7.

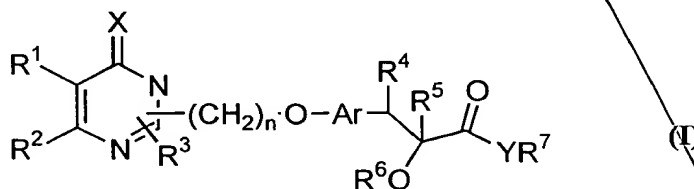
12. A compound of formula (I)



where  $X$  represents O or S; the groups  $R^1$ ,  $R^2$  and group  $R^3$  when present on carbon atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxy-carbonyl, aralkoxy-carbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkyl-

thio, thioalkyl, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; or R<sup>1</sup>, R<sup>2</sup> along with the adjacent atoms to which they are attached may also form a 5-6 membered substituted or unsubstituted cyclic structure containing carbon atoms with one or more double bonds, which may optionally contain one or more heteroatoms selected from oxygen, nitrogen and sulfur; R<sup>3</sup> when attached to nitrogen atom represents hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxy-carbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxy-alkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid derivatives; the linking group represented by -(CH<sub>2</sub>)<sub>n</sub>-O- may be attached either through nitrogen atom or through carbon atom where n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent single or fused aromatic or heterocyclic group; R<sup>4</sup> represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, unsubstituted or substituted aralkyl group or forms a bond together with the adjacent group R<sup>5</sup>; R<sup>5</sup> represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl group, acyl, unsubstituted or substituted aralkyl or R<sup>5</sup> forms a bond together with R<sup>4</sup>; R<sup>6</sup> represents unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups, R<sup>7</sup> represents hydrogen, and Y represents oxygen prepared according to the process of claim 8.

13. A compound of formula (I)



where X represents O or S; the groups R<sup>1</sup>, R<sup>2</sup> and group R<sup>3</sup> when present on carbon atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl,

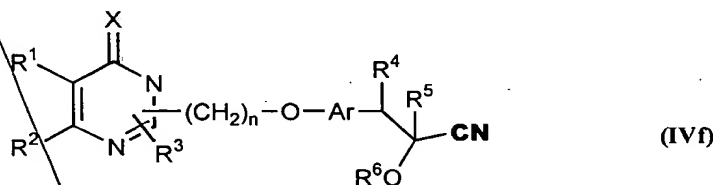
alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, hetero-  
aralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino,  
monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl,  
aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkyl-  
thio, thioalkyl, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino,  
5 carboxylic acid or its derivatives, or sulfonic acid or its derivatives; or  $R^1$ ,  $R^2$  along  
with the adjacent atoms to which they are attached may form a 5-6 membered  
substituted or unsubstituted cyclic structure containing carbon atoms with one or more  
double bonds, which may optionally contain one or more heteroatoms selected from  
10 oxygen, nitrogen and sulfur;  $R^3$  when attached to nitrogen atom represents hydrogen,  
hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl,  
alkoxy, cycloalkoxy, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyl-  
oxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino,  
aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxy-  
15 carbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxy-  
alkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid  
derivatives; the linking group represented by  $-(CH_2)_n-O-$  may be attached either  
through nitrogen atom or through carbon atom where n is an integer ranging from 1 -  
4; Ar represents an unsubstituted or substituted divalent single or fused aromatic or  
20 heterocyclic group;  $R^4$  represents hydrogen atom, hydroxy, alkoxy, halogen, lower  
alkyl, unsubstituted or substituted aralkyl group or forms a bond together with the  
adjacent group  $R^5$ ;  $R^5$  represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl  
group, acyl, unsubstituted or substituted aralkyl or  $R^5$  forms a bond together with  $R^4$ ;  
 $R^6$  represents hydrogen, or unsubstituted or substituted groups selected from alkyl,  
25 cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylamino-  
carbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups,  
with a provision that  $R^6$  does not represent hydrogen when  $R^7$  represents hydrogen or  
lower alkyl group;  $R^7$  represents hydrogen or unsubstituted or substituted groups  
selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl  
30 groups and Y represents  $NR^8$ , where  $R^8$  represents hydrogen, or unsubstituted or  
substituted alkyl, aryl, hydroxyalkyl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl  
groups;  $R^7$  and  $R^8$  together may form a 5 or 6 membered cyclic structure containing

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carbon atoms, which may optionally contain one or more heteroatoms selected from oxygen, sulfur or nitrogen, prepared according to the process of claim 9.

14. An intermediate of formula (IVf)



- 5 where X represents O or S; the groups R<sup>1</sup>, R<sup>2</sup> and group R<sup>3</sup> when present on carbon atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, hetero-
- 10 aralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxy-carbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkyl-
- 15 thio, thioalkyl, alkoxycarbonylamino, aryloxy-carbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; or R<sup>1</sup>, R<sup>2</sup> along with the adjacent atoms to which they are attached may form a 5-6 membered
- 20 substituted or unsubstituted cyclic structure containing carbon atoms with one or more double bonds, which may optionally contain one or more heteroatoms selected from oxygen, nitrogen and sulfur; R<sup>3</sup> when attached to nitrogen atom represents hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyl-
- 25 oxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxy-carbonyl, aryloxy-carbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxy-alkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid derivatives; the linking group represented by -(CH<sub>2</sub>)<sub>n</sub>-O- may be attached either
- through nitrogen atom or through carbon atom where n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent single or fused aromatic or heterocyclic group; R<sup>4</sup> represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, unsubstituted or substituted aralkyl group or forms a bond together with the adjacent group R<sup>5</sup>; R<sup>5</sup> represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl

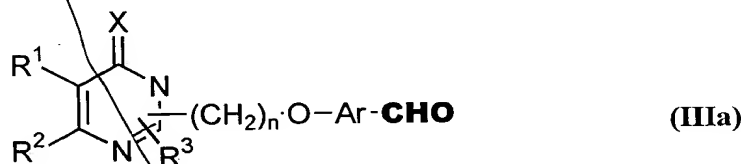
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group, acyl, unsubstituted or substituted aralkyl or  $R^5$  forms a bond together with  $R^4$ ;  $R^6$  represents hydrogen, or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylamino-carbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups.

- 5 15. A process for the preparation of the intermediate of formula (IVf) defined in claim 14, which comprises:

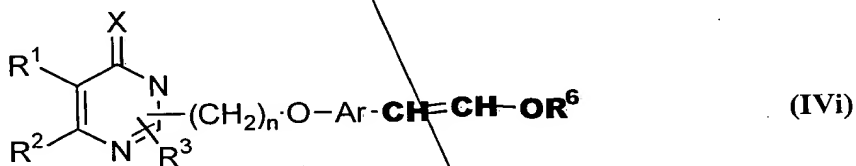
- a) reacting a compound of formula (IIIa)



where all symbols are as defined in claim 14 with a compound of formula (IVh)

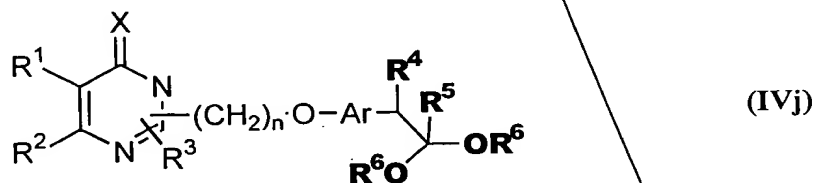


where  $R^6$  represents unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylamino-carbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl group and Hal represents a halogen atom, to yield a compound of formula (IVi)



where all symbols are as defined above,

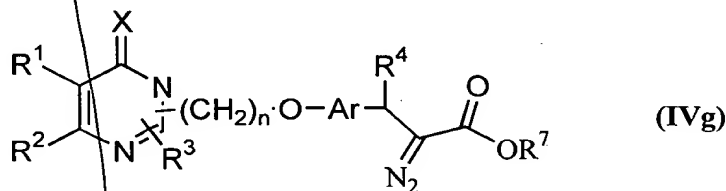
- b) reacting the compound of formula (IVi) with an alcohol of the formula  $R^6\text{OH}$  where  $R^6$  is unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups to yield a compound of formula (IVj),



where  $R^6$  is as defined above and all other symbols are as defined earlier,

c) reacting the compound of formula (IVj) obtained above where all symbols are as defined above with trialkylsilyl cyanide to produce a compound of formula (IVf) where all symbols are as defined above.

16. An intermediate of formula (IVg)

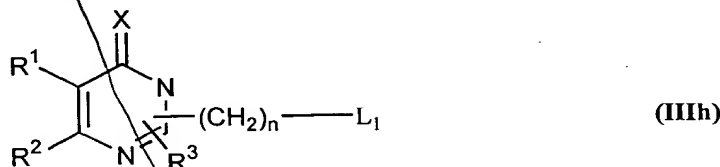


where X represents O or S; the groups R<sup>1</sup>, R<sup>2</sup> and group R<sup>3</sup> when present on carbon atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives, or sulfonic acid or its derivatives; or R<sup>1</sup>, R<sup>2</sup> along with the adjacent atoms to which they are attached may form a 5-6 membered substituted or unsubstituted cyclic structure containing carbon atoms with one or more double bonds, which may optionally contain one or more heteroatoms selected from oxygen, nitrogen and sulfur; R<sup>3</sup> when attached to nitrogen atom represents hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid derivatives; the linking group represented by -(CH<sub>2</sub>)<sub>n</sub>-O- may be attached either through nitrogen atom or through carbon atom where n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent single or fused aromatic or heterocyclic group; R<sup>4</sup> represents hydrogen atom, hydroxy, alkoxy, halogen, lower

alkyl, unsubstituted or substituted aralkyl;  $R^7$  represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups.

17. A process for the preparation of the intermediate of formula (IVg) as defined in claim 16, which comprises:

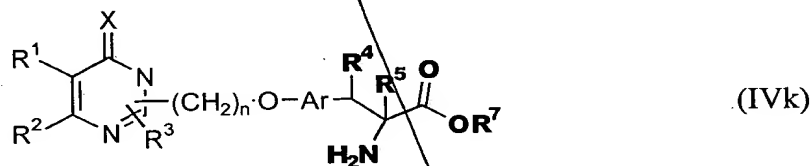
a) reacting a compound of formula (IIIh)



where  $L^1$  is a leaving group and all other symbols are as defined above with a compound of formula (IVl)



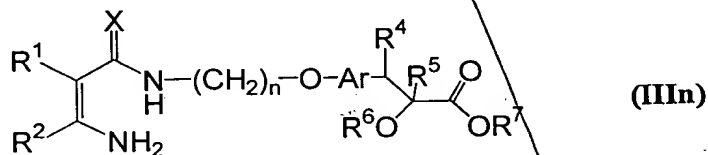
where  $R^5$  is hydrogen atom and all other symbols are as defined above, to yield a compound of formula (IVk)



where  $R^5$  is hydrogen atom and all other symbols are as defined above, and

b) reacting a compound of formula (IVk) obtained above with an diazotizing agent.

18. An intermediate of formula (IIIIn)

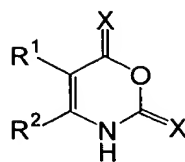


where X represents O or S; the groups  $R^1$ ,  $R^2$  may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl,



5 sulfonic acid or its derivatives; or R<sup>1</sup>, R<sup>2</sup> along with the adjacent atoms to which they are attached may also form a 5-6 membered substituted or unsubstituted cyclic structure containing carbon atoms with one or more double bonds, which may optionally contain one or more heteroatoms selected from oxygen, nitrogen and sulfur; n is an integer ranging from 1 – 4; Ar represents an unsubstituted or substituted  
10 divalent single or fused aromatic or heterocyclic group; R<sup>4</sup> represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, unsubstituted or substituted aralkyl group or forms a bond together with the adjacent group R<sup>5</sup>; R<sup>5</sup> represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl group, acyl, unsubstituted or substituted aralkyl or R<sup>5</sup> forms a bond together with R<sup>4</sup>; R<sup>6</sup> represents hydrogen, or unsubstituted or substituted  
15 groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; R<sup>7</sup> represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups.

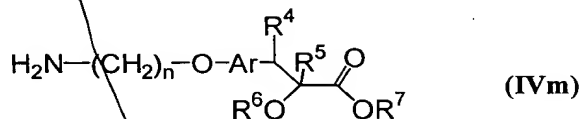
$$\begin{array}{c} \text{X} \\ \parallel \\ \text{R}^1 - \text{C}_6\text{H}_3(\text{R}^2, \text{NH}_2) - \text{NH} - (\text{CH}_2)_n - \text{O} - \text{Ar} - \text{C}(\text{R}^4) - \text{C}(\text{R}^5) = \text{C}(\text{OR}^7) - \text{C}(\text{R}^6) \text{O} \end{array} \quad (\text{IIIIn})$$
$$\text{H}_2\text{N}-(\text{CH}_2)_n-\text{O}-\text{Ar}-\text{C}(\text{R}^4)(\text{R}^5)-\text{C}(\text{R}^6)(\text{OR}^7)=\text{O} \quad (\text{IVm})$$

(IVo)

where  $R^1$ ,  $R^2$  and  $X$  are as defined earlier to produce a compound of formula (IIIIn) defined above.

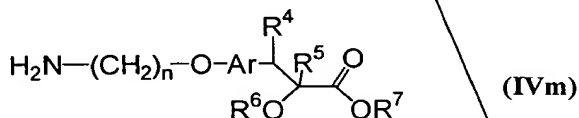
20. An intermediate of formula (IVm)



(IVm)

where  $n$  is an integer ranging from 1 – 4;  $Ar$  represents an unsubstituted or substituted divalent single or fused aromatic or heterocyclic group;  $R^4$  represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, unsubstituted or substituted aralkyl group or forms a bond together with the adjacent group  $R^5$ ;  $R^5$  represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl group, acyl, unsubstituted or substituted aralkyl or  $R^5$  forms a bond together with  $R^4$ ;  $R^6$  represents hydrogen, or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups;  $R^7$  represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups.

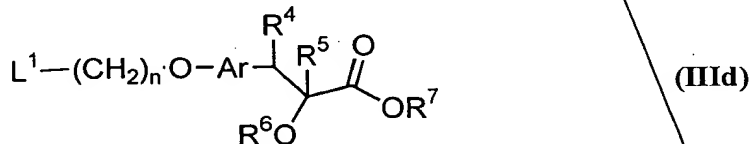
21. A process for the preparation of the intermediate of formula (IVm) defined in claim 20,



(IVm)

20 which comprises

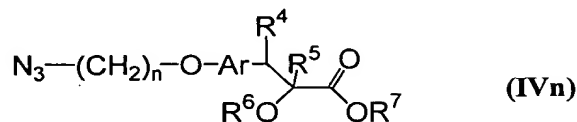
a) preparing from a compound of formula (IIIId)



(IIIId)

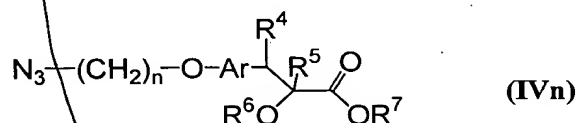
where  $L^1$  is a leaving group and all other symbols are as defined earlier by Gabriel synthesis;

- b) reducing a compound of formula (IVn)



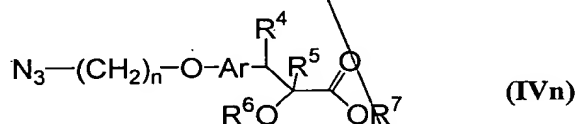
where  $\text{R}^4$  and  $\text{R}^5$  represent hydrogen atom and all other symbols are as defined earlier.

22. An intermediate of formula (IVn)



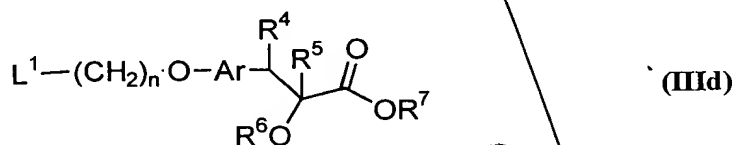
where n is an integer ranging from 1 - 4; Ar represents an unsubstituted or substituted divalent single or fused aromatic or heterocyclic group;  $\text{R}^4$  represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, unsubstituted or substituted aralkyl group or forms a bond together with the adjacent group  $\text{R}^5$ ;  $\text{R}^5$  represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl group, acyl, unsubstituted or substituted aralkyl or  $\text{R}^5$  forms a bond together with  $\text{R}^4$ ;  $\text{R}^6$  represents hydrogen, or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxycarbonyl, aryloxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, hetero-aryl, or heteroaralkyl groups; and  $\text{R}^7$  represents hydrogen, or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroaralkyl groups.

23. A process for the preparation of the intermediate of formula (IVn) defined in claim in 22,



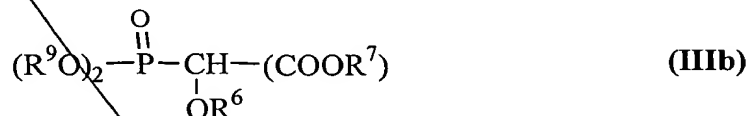
which comprises:

- a) treating a compound of formula (IIIId)

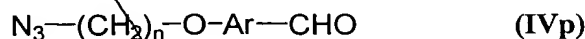


where L<sup>1</sup> is a leaving group and all symbols are as defined in claim 22, with appropriate azides to yield the compound of the formula (IVn);

b) reacting a compound of formula (IIIb)



5 where R<sup>6</sup>, R<sup>7</sup> are as defined earlier excluding hydrogen and R<sup>9</sup> represents (C<sub>1</sub>-C<sub>6</sub>)alkyl with a compound of formula (IVp)



where all symbols are as defined earlier by to yield a compound of the formula (IVn).

24. A compound according to claim 1 which is selected from:

- 10 (±)-Ethyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoate;
- (±)-2-Ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoic acid;
- 15 (±)-Sodium 2-ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoate;
- [2R, N(1S)] 2-ethoxy-3-[4-[[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl] -N-(2-hydroxy-1-phenylethyl)propanamide;
- [2S, N(1S)] 2-ethoxy-3-[4-[[3-Methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]-N-(2-hydroxy-1-phenylethyl)propanamide;
- 20 (+)-2-Ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoic acid;
- (-)-2-Ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoic acid;
- (-)-Sodium 2-ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoate;
- 25 (±)-(Morpholine-4-yl) 2-ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl]propanamide;
- (±)-2-Ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]-N-(2-fluorophenyl)propanamide;

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(±)-Ethyl 2-methoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]  
methoxy]phenyl]propanoate;

(±)-2-Methoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]  
phenyl]propanoic acid;

5 (±)-Ethyl 2-propoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]  
methoxy]phenyl]propanoate;

(±)-2-Propoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]  
phenyl]propanoic acid;

[2S, N(1S)] 2-propoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]  
10 methoxy]phenyl]-N-(2-hydroxy-1-phenylethyl)propanamide;

[2R, N(1S)] 2-Propoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]  
methoxy]phenyl]-N-(2-hydroxy-1-phenylethyl)propanamide;

(±)-Ethyl 2-(n-butoxy)-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]  
methoxy] phenyl]propanoate;

15 (±)-2-(n-Butoxy)-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]  
phenyl]propanoic acid;

(±)-Ethyl 2-(n-octyloxy)-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]  
methoxy]phenyl]propanoate;

(±)-Ethyl 2-benzyloxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]  
20 methoxy]phenyl] propanoate;

(±)-2-Benzyloxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]  
phenyl]propanoic acid;

(±)-Ethyl 2-phenoxy 3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]  
methoxy]phenyl]propanoate;

25 (±)-2-Phenoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]  
phenyl]propanoic acid;

(±)-Ethyl 2-(2-methoxyethoxy)-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-  
quinazolinyl]methoxy]phenyl]propanoate;

(±)-2-(2-Methoxyethoxy)-3-[4-[[3-methyl-4-oxo-3,4-dihydro-2-quinazolinyl]  
30 methoxy]phenyl]propanoic acid;

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(±)-Ethyl 2-ethoxy-3-[4-[2-[2-ethyl-4-oxo-3,4-dihydro-3-quinazolinyl] ethoxy]  
phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[2-[2-ethyl-4-oxo-3,4-dihydro-3-quinazolinyl]ethoxy]  
phenyl] propanoic acid;

5 [2R, N(1S)] 2-ethoxy-3-[4-[2-[2-ethyl-4-oxo-3,4-dihydro-3-quinazolinyl]  
ethoxy]phenyl]-N-(2-hydroxy-1-phenylethyl)propanamide;

[2S, N(1S)] 2-ethoxy-3-[4-[2-[2-ethyl-4-oxo-3,4-dihydro-3-quinazolinyl]  
ethoxy]phenyl]-N-(2-hydroxy-1-phenylethyl)propanamide;

(+) -2-Ethoxy-3-[4-[2-[2-ethyl-4-oxo-3,4-dihydro-3-quinazolinyl]ethoxy]  
10 phenyl]propanoic acid;

(-)-2-Ethoxy-3-[4-[2-[2-ethyl-4-oxo-3,4-dihydro-3-quinazolinyl]ethoxy]  
phenyl]propanoic acid;

(+)-Ethyl 2-ethoxy-3-[4-[2-[2-ethyl-4-oxo-3,4-dihydro-3-quinazolinyl]ethoxy]  
phenyl]propanoate;

15 (-)-Ethyl 2-ethoxy-3-[4-[2-[2-ethyl-4-oxo-3,4-dihydro-3-quinazolinyl] ethoxy]  
phenyl]propanoate;

(±)-Ethyl 2-ethoxy-3-[4-[2-[2-methyl-4-oxo-3,4-dihydro-3-quinazolinyl]  
ethoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[2-[2-methyl-4-oxo-3,4-dihydro-3-quinazolinyl]ethoxy]  
20 phenyl]propanoic acid;

[2R, N(1S)] 2-ethoxy-3-[4-[2-[2-methyl-4-oxo-3,4-dihydro-3-quinazolinyl]  
ethoxy]phenyl]-N-(2-hydroxy-1-phenylethyl)propanamide;

[2S, N(1S)] 2-ethoxy-3-[4-[2-[2-methyl-4-oxo-3,4-dihydro-3-quinazolinyl]  
ethoxy]phenyl]-N-(2-hydroxy-1-phenylethyl)propanamide;

25 (+) -2-Ethoxy-3-[4-[2-[2-methyl-4-oxo-3,4-dihydro-3-quinazolinyl] ethoxy]  
phenyl]propanoic acid;

(-)-2-Ethoxy-3-[4-[2-[2-methyl-4-oxo-3,4-dihydro-3-quinazolinyl]ethoxy]  
phenyl]propanoic acid;

(+)-Ethyl 2-ethoxy-3-[4-[2-[2-methyl-4-oxo-3,4-dihydro-3-quinazolinyl]  
30 ethoxy]phenyl]propanoate;

(-)-Ethyl-2-ethoxy-3-[4-[2-[2-methyl-4-oxo-3,4-dihydro-3-quinazolinyl]  
ethoxy]phenyl]propanoate;

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(±)-Ethyl 2-ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-3-quinazolinyl]ethoxy] phenyl]  
propanoate;

(±)-2-Ethoxy-3-[4-[2-[4-oxo-3,4-dihydro-3-quinazolinyl]ethoxy]phenyl]  
propanoic acid;

5 (±)-Ethyl 2-phenoxy-3-[4-[2-[2-ethyl-4-oxo-3,4-dihydro-3-quinazolinyl]  
ethoxy]phenyl]propanoate;

(±)-2-Phenoxy-3-[4-[2-[2-ethyl-4-oxo-3,4-dihydro-3-quinazolinyl]ethoxy]  
phenyl]propanoic acid;

10 (±)-Ethyl 2-phenoxy-3-[4-[2-[2-methyl-4-oxo-3,4-dihydro-3-quinazolinyl]  
ethoxy]phenyl]propanoate;

(±)-2-Phenoxy-3-[4-[2-[2-methyl-4-oxo-3,4-dihydro-3-quinazolinyl]ethoxy]  
phenyl]propanoic acid;

(±)-Ethyl 2-ethoxy-3-[4-[2-[2-ethyl-4-methyl-6-oxo-1-pyrimidinyl] ethoxy]  
phenyl]propanoate;

15 (±)-2-Ethoxy-3-[4-[2-[2-ethyl-4-methyl-6-oxo-1-pyrimidinyl]ethoxy] phenyl]  
propanoic acid;

(±)-Ethyl 2-ethoxy-3-[4-[[3-phenyl-4-oxo-3,4-dihydro-2-quinazolinyl]  
methoxy]phenyl]propanoate;

20 (±)-2-Ethoxy-3-[4-[2-[2-ethyl-4-methyl-6-oxo-1-pyrimidinyl]ethoxy] phenyl]  
propanoic acid;

(±)-Ethyl 2-ethoxy-3-[4-[[3-phenyl-4-oxo-3,4-dihydro-2-quinazolinyl]  
methoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[3-phenyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]  
phenyl]propanoic acid;

25 (±)-Ethyl 2-ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-6,7-dimethoxy-2-  
quinazolinyl]methoxy]phenyl]propanoate;

(±)- 2-Ethoxy-3-[4-[[3-methyl-4-oxo-3,4-dihydro-6,7-dimethoxy-2-  
quinazolinyl]methoxy] phenyl]propanoic acid;

30 (±)-Ethyl 2-ethoxy-3-[4-[[3-(4-methylphenyl)-4-oxo-3,4-dihydro-2-  
quinazolinyl]methoxy] phenyl]propanoate;

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(±)-2-Ethoxy-3-[4-[[3-(4-methylphenyl)-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoic acid;

(±)-Ethyl 2-ethoxy-3-[4-[[3-(4-methoxyphenyl)-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl]propanoate;

5 (±)-2-Ethoxy-3-[4-[[3-(4-methoxyphenyl)-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoic acid;

(±)-Ethyl 2-ethoxy-3-[4-[[3-benzyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoate;

10 (±)-2-Ethoxy-3-[4-[[3-benzyl-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoic acid;

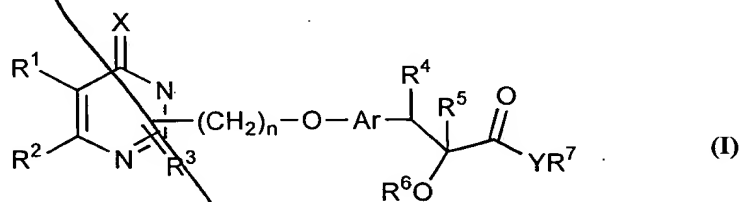
(±)-Ethyl 2-ethoxy-3-[4-[[3-(3-chlorophenyl)-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[3-(3-chlorophenyl)-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoic acid;

15 (±)-Ethyl 2-ethoxy-3-[4-[[3-(3-chloro-4-fluorophenyl)-4-oxo-3,4-dihydro-2-quinazolinyl]methoxy]phenyl]propanoate;

(±)-2-Ethoxy-3-[4-[[3-(3-chloro-4-fluorophenyl)-4-oxo-3,4-dihydro-2-quinazolinyl] methoxy]phenyl]propanoic acid.

25. A pharmaceutical composition which comprises a compound of formula (I)



20 as defined in claims 1-5, 10-13, or 24 and a pharmaceutically acceptable carrier, diluent, excipient or solvate.

26. A pharmaceutical composition as claimed in claim 25, in the form of a tablet, capsule, powder, syrup, solution or suspension.

25 27. A method of preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism comprising administering a compound of formula (I) as defined in claims

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1-5, 10-13 or 24 or a compound as claimed in claim 24 or a pharmaceutical composition as claimed in claims 25 and 26 to a patient in need thereof.

28. A method according to claim 27, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

29. A method according to claim 28, for the treatment or prophylaxis of disorders related to Syndrome X, which comprises administering an agonist of PPAR $\alpha$  and/or PPAR $\gamma$  of formula (I).

30. A method of reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL and free fatty acids in the plasma comprising an effective amount of compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24 or a pharmaceutical composition as claimed in claims 25 and 26 to a patient in need thereof.

31. A method of preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism comprising administering a compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24 or a pharmaceutical composition as claimed in claim 25 and 26 in combination/concomittant with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol which may be administered together or within such a period as to act synergistically together to a patient in need thereof.

32. A method according to claim 31, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis,

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glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

33. A method according to claim 32, for the treatment or prophylaxis of disorders related to Syndrome X, which comprises administering a compound of formula (I) in combination with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol which may be administered together or within such a period as to act synergistically together.

34. A method of reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL and free fatty acids in the plasma, which comprises administering a compound of formula (I) claimed in any one of claims 1-5, 10-13 or 24 or a pharmaceutical composition as claimed in claims 25 and 26 in combination/concomittant with HMG CoA reductase inhibitors or fibrates or nicotinic acid or cholestyramine or colestipol or probucol which may be administered together or within such a period as to act synergistically together to a patient in need thereof.

35. Use of a compound of formula (I) as defined in any one of claims 1-5, 10-13, or 24 for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism.

36. Use according to claim 35, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

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37. Use of a compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24 for reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL and free fatty acids in the plasma comprising an effective amount of compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24 or a pharmaceutical composition as claimed in claims 25 and 26 to a patient in need thereof.

38. Use of a compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24, in combination/concomittant with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol which may be administered together or within such a period as to act synergistically together for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism to a patient in need thereof.

39. Use according to claim 38, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

40. Use of a compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24 in combination/concomittant with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol for reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL or free fatty acids in the plasma.

41. Use of a compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24, for preparing a medicament for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism.

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42. Use according to claim 41, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.
43. Use of a compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24 for preparing a medicament for reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL and free fatty acids in the plasma.
44. Use of a compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24 for preparing a medicament in combination/concomittant with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol for preventing or treating hypelipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism.
45. Use according to claim 44, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.
46. Use of a compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24 for preparing a medicament in combination/concomittant with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol for reducing

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plasma glucose, triglycerides, total cholesterol, LDL, VLDL or free fatty acids in the plasma.

47. A medicine for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism comprising administering a compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24 or a pharmaceutical composition as claimed in claims 25 and 26.

48. A medicine according to claim 47, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

49. A medicine for reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL and free fatty acids in the plasma comprising an effective amount of compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24 or a pharmaceutical composition as claimed in claims 25 and 26.

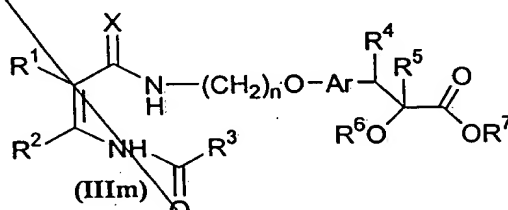
50. A medicine for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism comprising a compound of formula (I) as defined in any one of claims 1-5, 10-13 or 24 or a pharmaceutical composition as claimed in claims 25 and 26 and HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol.

51. A medicine according to claim 50, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipidaemia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and

other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive functions in dementia and treating diabetic complications, osteoporosis, inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

52. A medicine for reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL and free fatty acids in the plasma, which comprises a compound of formula (I) claimed in any one of claims 1-5, 10-13 or 24 or a pharmaceutical composition as claimed in claims 25 and 26 and HMG CoA reductase inhibitors, fibrate, nicotinic acid, cholestyramine, colestipol or probucol.

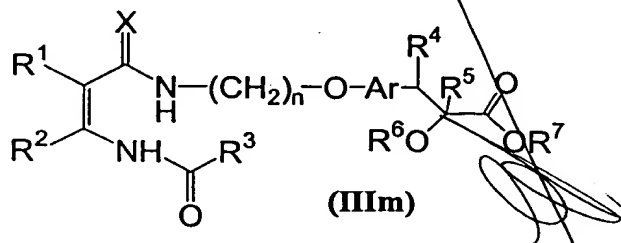
53. An intermediate of formula (III<sub>m</sub>)



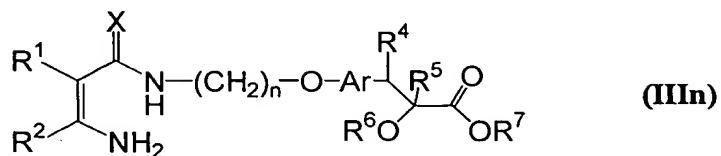
where X represents O or S; the groups R<sup>1</sup>, R<sup>2</sup> and group R<sup>3</sup> when attached to the carbon atom, may be same or different and represent hydrogen, halogen, hydroxy, nitro, cyano, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl, alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, carboxylic acid or its derivatives or sulfonic acid or its derivatives; or R<sup>1</sup>, R<sup>2</sup> along with the adjacent atoms to which they are attached may form a 5-6 membered substituted or unsubstituted cyclic structure containing carbon atoms with one or more double bonds, which may optionally contain one or more

heteroatoms selected from oxygen, nitrogen and sulfur;  $R^3$  when attached to nitrogen atom represents hydrogen, hydroxy, formyl or unsubstituted or substituted groups selected from alkyl, cycloalkyl, alkoxy, cycloalkoxy, aryl, aralkyl, hetero-cyclyl, heteroaryl, heteroaralkyl, acyl, acyloxy, hydroxyalkyl, amino, acylamino, monoalkylamino, dialkylamino, arylamino, aralkylamino, aminoalkyl, aryloxy, aralkoxy, heteroaryloxy, heteroaralkoxy, alkoxy-carbonyl, aryloxy-carbonyl, aralkoxy-carbonyl, alkoxyalkyl, aryloxyalkyl, aralkoxyalkyl, alkylthio, thioalkyl groups, carboxylic acid derivatives, or sulfonic acid derivatives; the linking group represented by  $-(CH_2)_n-O-$  may be attached either through nitrogen atom or through carbon atom where n is an integer ranging from 1-4; Ar represents an optionally substituted divalent single or fused aromatic or heterocyclic group;  $R^4$  represents hydrogen atom, hydroxy, alkoxy, halogen, lower alkyl, unsubstituted or substituted aralkyl group or forms a bond together with the adjacent group  $R^5$ ;  $R^5$  represents hydrogen, hydroxy, alkoxy, halogen, lower alkyl group, acyl, unsubstituted or substituted aralkyl or  $R^5$  forms a bond together with  $R^4$ ;  $R^6$  represents hydrogen, or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, alkoxyalkyl, alkoxy-carbonyl, aryloxy-carbonyl, alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl, or heteroaralkyl groups, with the provision that  $R^6$  does not represent hydrogen when  $R^7$  represents hydrogen or lower alkyl group;  $R^7$  represents hydrogen or unsubstituted or substituted groups selected from alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; Y represents oxygen or  $NR^8$ , where  $R^8$  represents hydrogen, or unsubstituted or substituted groups selected from alkyl, aryl, hydroxy-alkyl, aralkyl, heterocyclyl, heteroaryl, or heteroaralkyl groups; or  $R^7$  and  $R^8$  together may form a substituted or unsubstituted 5 or 6 membered cyclic structure containing carbon atoms, which may optionally contain one or more heteroatoms selected from oxygen, sulfur or nitrogen.

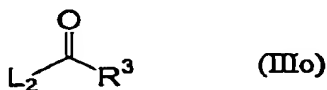
54. A process for the preparation of the intermediate of formula (III<sub>m</sub>) defined in claim 53



which comprises reacting a compound of formula (IIIIn)

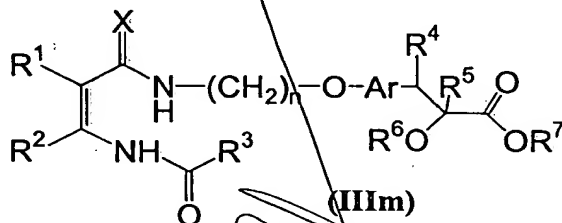


where all symbols are as defined above, with a compound of formula (IIIo)



where L<sup>2</sup> is a leaving group and all other symbols are as defined above, to produce a compound of formula (IIIIm) where all symbols are as defined above.

55. A pharmaceutical composition which comprises a compound of formula (IIIIm)



as defined in claim 53 and a pharmaceutically acceptable carrier, diluent, excipient or solvate.

56. A pharmaceutical composition as claimed in claim 55, in the form of a tablet, capsule, powder, syrup, solution or suspension.

57. A method for preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism comprising administering a compound of formula (IIIIm) as defined in

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claim 53 or a pharmaceutical composition as claimed in claims 55 or 56 to a patient in need thereof.

58. A method according to claim 57, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipideamia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive function in dementia and treating diabetic complications, osteoporosis, inflammatory bowel disease, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

59. A method according to claim 58, for the treatment or prophylaxis of disorders related to Syndrome X, which comprises administering an agonist of PPAR $\alpha$ , or PPAR $\gamma$  of formula (III $m$ ) or a mixture thereof.

60. A method of preventing or treating hyperlipemia, hypercholesteremia, hyperglycemia, osteoporosis, obesity, glucose intolerance, leptin resistance, insulin resistance, or diseases in which insulin resistance is the underlying pathophysiological mechanism comprising administering a compound of formula (III $m$ ) as defined in claim 53 or a pharmaceutical composition as claimed in claims 55 or 56 in combination/concomittant with HMG CoA reductase inhibitor, fibrates, nicotinic acid, cholestyramine, colestipol or probucol which may be administered together or within such a period as to act synergistically together to a patient in need thereof.

61. A method according to claim 60, wherein the disease is type II diabetes, impaired glucose tolerance, dyslipideamia, disorders related to Syndrome X such as hypertension, obesity, atherosclerosis, hyperlipidemia, coronary artery disease and other cardiovascular disorders, certain renal diseases including glomerulonephritis, glomerulosclerosis, nephrotic syndrome, hypertensive nephrosclerosis, retinopathy, nephropathy, disorders related to endothelial cell activation, psoriasis, polycystic ovarian syndrome (PCOS), useful as aldose reductase inhibitors, for improving cognitive function in dementia and treating diabetic complications, osteoporosis,

inflammatory bowel diseases, myotonic dystrophy, pancreatitis, arteriosclerosis, xanthoma or cancer.

62. A method according to claim 61, for the treatment or prophylaxis of disorders related to Syndrome X, which comprises administering a compound of formula (III<sub>m</sub>) in combination with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol which may be administered together or within such a period as to act synergistically together.

63. A method of reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL and free fatty acids in the plasma, which comprises administering a compound of formula (III<sub>m</sub>) claimed in claim 53 or a pharmaceutical composition as claimed in claim 55 or 56 in combination/concomittant with HMG CoA reductase inhibitors, fibrates, nicotinic acid, cholestyramine, colestipol or probucol which may be administered together or within such a period as to act synergistically together to a patient in need thereof.

64. A method of reducing plasma glucose, triglycerides, total cholesterol, LDL, VLDL and free fatty acids in the plasma, which comprises administering a compound of formula (III<sub>m</sub>) claimed in claim 53 or a pharmaceutical composition as claimed in claim 55 or 56 to a patient in need thereof.

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